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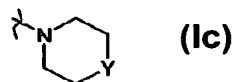
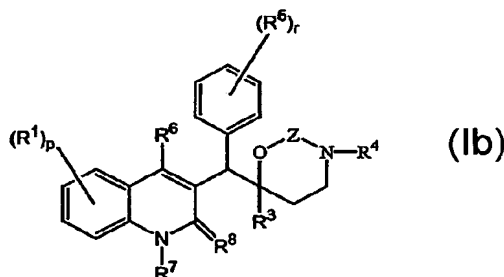
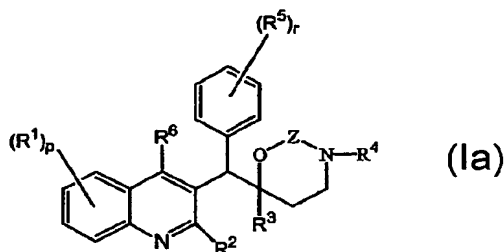
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[Continued on next page]

(54) Title: SUBSTITUTED QUINOLINES AND THEIR USE AS MYCOBACTERIAL INHIBITORS



(57) Abstract: The present invention relates to novel substituted quinoline derivatives according to the general formula (Ia) or the general formula (Ib) salts, quaternary amines, stereochemically isomeric forms, tautomeric forms and N-oxide forms thereof, wherein R¹ is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl; p is 1, 2, 3 or 4; R² is hydrogen, hydroxy, thio, alkyloxy, alkyloxyalkyloxy, alkylthio, mono or di(alkyl)amino or a radical of formula (Ic); R³ is alkyl, Ar, Ar-alkyl, Het or Het-alkyl; R⁴ is hydrogen, alkyl or benzyl; R⁵ is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl; or two vicinal R⁵ radicals may be taken

together to form together with the phenyl ring to which they are attached a naphthyl; r is 1, 2, 3, 4 or 5; R⁶ is hydrogen, alkyl, Ar or Het; R⁷ is hydrogen or alkyl; R⁸ is oxo; or R⁷ and R⁸ taken together form the radical -CH=CH-N=; Z is CH₂ or C(=O). The claimed compounds are useful for the treatment of mycobacterial diseases, particularly those diseases caused by pathogenic mycobacteria such as *M. tuberculosis*, *M. bovis*, *M. avium*, *M. smegmatis* and *M. marinum*. Also claimed is a pharmaceutical composition containing a compound of the present invention, the use of the claimed compounds or compositions for the manufacture of a medicament for the treatment of mycobacterial diseases and a process for preparing the claimed compounds.



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- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(Hi))*
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